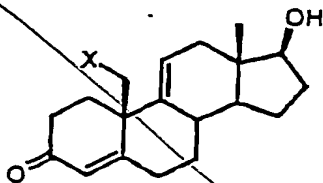


Claims:

1. 17 β -Hydroxy-19-halogen-androsta-4,9(11)-dien-3-ones of general formula I,



in which X = a halogen radical or a radiohalogen radical.

2. 17 β -Hydroxy-19-halogen-androsta-4,9(11)-dien-3-ones according to claim 1, characterized in that X = Br, I, ¹²⁵I, ¹³¹I, ⁸²Br or ⁷⁷Br.

Sub
Ar → 3. 17 β -Hydroxy-19-halogen-androsta-4,9(11)-dien-3-ones according to one of claims 1 or 2, characterized by

17 β -Hydroxy-19-iodo-androsta-4,9(11)-dien-3-one,

17 β -Hydroxy-19-¹²⁵iodo-androsta-4,9(11)-dien-3-one or

19-Bromo-17 β -hydroxy-androsta-4,9(11)-dien-3-one.

4. Process for the production of 17 β -hydroxy-19-halogen-androsta-4,9(11)-dien-3-ones of general formula I according to one of claims 1 to 3, wherein starting from 3,3-(2,2-dimethyl-trimethylenedioxy)-10 β -formyl-androst-9(11)-ene-5 α ,17 β -diol

- a) The C-17 β -hydroxy group is protected by silylation,
- b) The 10 β -formyl group is reduced to the C-19-hydroxy compound,

- c) The thus produced 17 β -silylated-3,3-(2,2-dimethyl-trimethylenedioxy)-androst-9(11)-ene-5 α ,19-diol is reacted with elementary halogen or radiohalogen, selected from Br or I, to form 17 β -silylated-3,3-(2,2-dimethyl-trimethylenedioxy)-19-halogen-androst-9(11)-en-5 α -ol,
- d) Water is cleaved off, and
- e) The thus produced isomer mixture that consists of 17 β -silylated-3,3-(2,2-dimethyl-trimethylenedioxy)-19-halogen-androsta-5,9(11)-diene and 17 β -silylated-3,3-(2,2-dimethyl-trimethylenedioxy)-19-halogen-androsta-4,9(11)-diene is mixed with a strong protonic acid for the formation of target compounds I.

Sub
R1
5. Process according to claim 4, wherein the reduction to the C-19-hydroxy compound is carried out with sodium borohydride, lithium aluminum hydride or diisobutyl aluminum hydride.

Sub
Q2
6. Process according to claim 4 or 5, wherein the halogen or radiohalogen is added in a small excess.

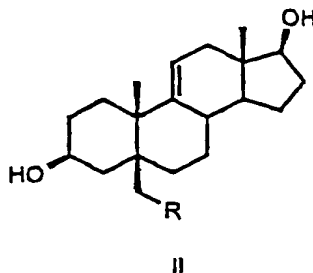
7. Process according to one of claims 4 to 6, wherein the dehydration is carried out under standard conditions, preferably with thionyl chloride/pyridine.

8. Process according to one of claims 4 to 7, wherein trifluoroacetic acid, sulfuric acid or methanesulfonic acid is used as a strong protonic acid.

9. Use of the compounds of general formula I according to one of claims 1 to 3 as a diagnostic agent.

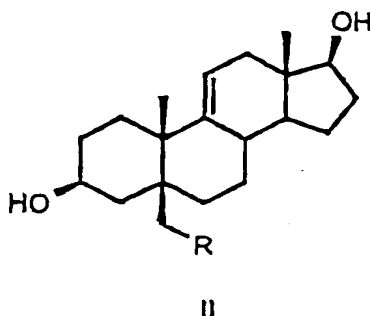
Sub B' 10. Use according to claim 9 for graphic visualization of the prostate and for early detection of pathophysiological changes.

Sub A3 11. Use of the non-labeled compounds of general formula I according to one of claims 1 to 3 as starting products for the production of 5 β -substituted androst-9(11)-enes of general formula II with radical R in the meaning of: $R = -(CH_2)_n-CH_2-R^1$, $-(CH_2)_n-CH_2-OR^1$, $-(CH_2)_n-CH_2-OCOR^1$, $-(CH_2)_n-CH_2-SR^1$, $-(CH_2)_n-CH_2-NR^1R^2$, $-(CH_2)_n-CHO$, $-(CH_2)_n-CN$, in which n can assume the values of 0-5, and radicals R^1 and R^2 , independently of one another, stand for hydrogen or a straight-chain or branched, saturated or unsaturated hydrocarbon radical with up to 18 C atoms, whereby this radical optionally can contain additional functional groups and carbocyclic or heterocyclic ring elements.



Sub B' 12. Compounds of general formula II with radical R in the meaning of: $R = -(CH_2)_n-CH_2-R^1$, $-(CH_2)_n-CH_2-OR^1$, $-(CH_2)_n-CH_2-OCOR^1$, $-(CH_2)_n-CH_2-SR^1$, $-(CH_2)_n-CH_2-NR^1R^2$, $-(CH_2)_n-CHO$, $-(CH_2)_n-CN$, in which n can assume the values of 0-5, and radicals R^1 and R^2 , independently of one another, stand for hydrogen or a straight-chain or branched, saturated or unsaturated hydrocarbon radical

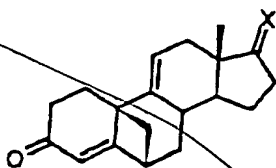
with up to 18 C atoms, whereby this radical optionally can contain additional functional groups and carbocyclic or heterocyclic ring elements.



13. Process for the production of 5 β -substituted androst-9(11)-enes of general formula II according to claim 12 by reaction of a compound of general formula I to form 17 β -silyl ether Ia and further reaction with mercaptoacetic acid methyl ester for the formation of 17 β -silylated-3-oxo-2'H,5'H-thieno[3',4':5,10]-5 β -estr-9(11)-ene-2' ξ -carboxylic acid methyl ester, which then is reacted according to processes that are known in the art analogously to Diagram 2 to form the target compounds of Formula II.

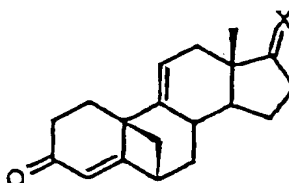
14. Use of the compounds of general formula II according to claim 12 for treatment of androgen-dependent diseases.

15. Use of the non-labeled compounds of general formula I according to one of claims 1 to 3 as starting products for the production of 6 β ,19-cycloandrostadienes of general formula III, in which X = O or the grouping 17 β -OR, 17 α -H, with R in the meaning of H, C1-C10-alkyl, C1-C10-acyl, whereby the acyl radical is derived from an aliphatic or aromatic carboxylic acid.



III

16. 6 β ,19-Cycloandrosta-1,4-dienes of Formula III



III

in which

X = O or the grouping 17 β -OR, 17 α -H, with R in the meaning of H, C1-C10-alkyl, C1-C10-acyl, whereby the acyl radical is derived from an aliphatic or aromatic carboxylic acid.

17. Process for the production of the 6 β ,19-cycloandrosta-1,4-dienes of Formula III according to claim 16, wherein a compound of general formula I is reacted to form 17 β -silyl ether Ia and the latter is treated with a non-nucleophilic base in a solvent, and then the silyl ether is further cleaved off while a cyclosteroid of general formula III is obtained, and the latter then is optionally converted by standard processes, such as esterification, etherification, oxidation, into further compounds of general formula III.

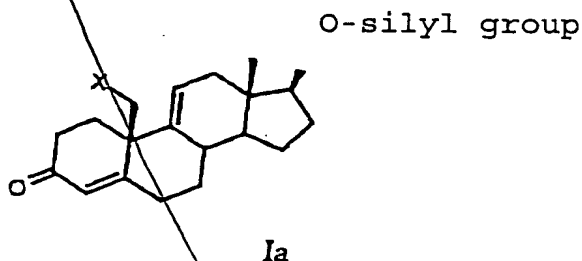
18. Process according to claim 17, wherein it is treated with sodium hydride, triethylamine, fluoride as a non-nucleophilic base.

Sub 05 → 19. Process according to claim 17 or 18, wherein the base treatment is carried out in an aprotic solvent.

20. Process according to claim 19, wherein the aprotic solvent is THF or DMF.

21. Use of the 6 β ,19-cycloandrostadienes of general formula III according to claim 16 as an aromatase inhibitor and 5 α -reductase inhibitor.

22. 17 β -Silyl ether of general formula Ia



in which X = halogen, selected from Br or I.

23. 17 β -Silyl ether according to claim 22, characterized by the 17 β -(tert-butyltrimethylsilyloxy)-19-halogen-androsta-4,9(11)-dien-3-ones, preferably

17 β -(tert-butyltrimethylsilyloxy)-19-iodo-androsta-4,9(11)-dien-3-one,

17 β -(tert-butyltrimethylsilyloxy)-19-bromo-androsta-4,9(11)-dien-3-one.

add
R² →